Claim Listing

1. (Original) A compound of the formula I:

or a pharmaceutically acceptable salt or prodrug thereof, wherein:

m is from 0 to 3;

n is from 0 to 2;

each R^1 is independently hydrogen, halo, alkyl, baloalkyl, hydroxy, heteroalkyl, nitro, alkoxy, cyano, $-NR^aR^b$, $-S(O)_s-R^a$, $-C(=O)-NR^aR^b$, $-SO_2-NR^aR^b$, $-N(R^a)-C(=O)-R^b$, or $-C(=O)-R^a$, where each of R^a and R^b is independently hydrogen or alkyl, or two of R^1 may form an alkylene or alkylene dioxy group;

R² is aryl or heteroaryl;

R³ is hydrogen or alkyl; and

R4 is of the formula:

wherein:

p is 2 or 3; and

R⁵, R⁶, R⁷ and R⁸ each independently is hydrogen or alkyl, or one of R⁵ and R⁶ together with one of R⁷ and R⁸ and the atoms therebetween may form a heterocyclic ring of 4 to 7 members, or R⁷ and R⁸ together with their shared nitrogen may form a heterocyclic ring of 4 to 7 members; or one of R⁷ and R⁸ together with R³ and the atoms therebetween may form a heterocyclic ring of 4 to 7 members.

(Original) The compound of claim 1, wherein the radical -S(O)_n-R² is at the 2-2. position of the indole ring system.

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- (Original) The compound of claim 1, wherein the radical -S(O)_n-R² is at the 3-3. position of the indole ring system.
- (Original) The compound of claim 1, wherein R4 is at the 7- position of the 4. indole ring system.
- (Original) The compound of claim 4, wherein R² is optionally substituted phenyl. 5.
- (Original) The compound of claim 4, wherein m is 0. 6.
- (Original) The compound of claim 4, wherein n is 2. 7.
- 8. (Original) The compound of claim 4, wherein n is 0.
- (Original) The compound of claim 4, wherein R² is 2-halophenyl, 3-halopheny, 9. 4-halophenyl, 2,3-dihalophenyl, 2,4-dihalophenyl, 3,4-dihalophenyl, 2,5-dihalophenyl, 3,5-dihalophenyl, 2-alkoxyphenyl, 3-alkoxypheny, 4-alkoxyphenyl, 2,3-dialkoxyphenyl, 2,4-dialkoxyphenyl, 3,4-dialkoxyphenyl, 3,5-dialkoxyphenyl, or 2,5-dialkoxyphenyl.
- (Original) The compound of claim 4, wherein R² is 4-chlorophenyl, 2,3-10. dichlorophenyl, 2-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, or 2-methoxyphenyl.
- (Original) The compound of claim 4, wherein R⁴ is optionally substituted 2-11. pyrrolidin-1-yl-ethoxy, optionally substituted pyrrolidin-2-mcthoxy, optionally substituted piperidin-4-yloxy, optionally substituted azetidin-3-yl-methoxy, aminoethoxy, methylaminoethoxy or dimethylaminoethoxy.
- (Original) The compound of claim 4, wherein p is 2 and R⁵ and R⁶ are hydrogen. 12.

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- 13. (Original) The compound of claim 12, wherein R⁷ and R⁸ together form a five- or six-membered ring.
- 14. (Original) The compound of claim 12, wherein one of R⁷ and R⁸ is hydrogen and the other is alkyl.
- 15. (Original) The compound of claim 12, wherein R⁷ and R⁸ are alkyl.
- 16. (Original) The compound of claim 4, wherein p is 1, R^5 and R^7 are hydrogen, and R^6 and R^8 together form a five- or six-membered heterocyclic ring.
- 17. (Original) The compound of claim 1, wherein R⁴ is at the 4- position of the indole ring system.
- 18. (Original) The compound of claim 17, wherein R² is optionally substituted phenyl.
- 19. (Original) The compound of claim 17, wherein m is 0.
- 20. (Original) The compound of claim 17, wherein n is 2.
- 21. (Original) The compound of claim 17, wherein n is 0.
- 22. (Original) The compound of claim 17, wherein R² is 2-halophenyl, 3-halopheny, 4-halophenyl, 2,3-dihalophenyl, 2,4-dihalophenyl, 3,4-dihalophenyl, 2,5-dihalophenyl, 3,5-dihalophenyl, 2-alkoxyphenyl, 3-alkoxyphenyl, 4-alkoxyphenyl, 2,3-dialkoxyphenyl, 2,4-dialkoxyphenyl, 3,5-dialkoxyphenyl, or 2,5-dialkoxyphenyl.
- 23. (Original) The compound of claim 17, wherein R² is 4-chlorophenyl, 2,3-dichlorophenyl, 2-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, or 2-methoxyphenyl.

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- (Original) The compound of claim 17, wherein R4 is optionally substituted 2-24. pyrrolidin-1-yl-ethoxy, optionally substituted pyrrolidin-2-methoxy, optionally substituted piperidin-4-yloxy, methylaminoethoxy or dimethylaminoethoxy.
- (Original) The compound of claim 3, wherein R⁴ is at the 7-position of the indole 25. ring system.
- (Original) The compound of claim 25, wherein R² is optionally substituted 26. phenyl.
- (Original) The compound of claim 25, wherein m is 0. 27.
- (Original) The compound of claim 25, wherein n is 2. 28.
- (Original) The compound of claim 25, wherein n is 0. 29.
- (Original) The compound of claim 25, wherein R² is 2-halophenyl, 3-halopheny, 30. 4-halophenyl, 2,3-dihalophenyl, 2,4-dihalophenyl, 3,4-dihalophenyl, 2,5-dihalophenyl, 3,5-dihalophenyl, 2-alkoxyphcnyl, 3-alkoxyphenyl, 4-alkoxyphcnyl, 2,3-dialkoxyphenyl, 2,4-dialkoxyphenyl, 3,4-dialkoxyphenyl, 3,5-dialkoxyphenyl, or 2,5-dialkoxyphenyl.
- (Original) The compound of claim 25, wherein R² is 4-chlorophenyl, 2,3-31. dichlorophenyl, 2-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, or 2-methoxyphenyl.
- (Original) The compound of claim 25, wherein R⁴ is optionally substituted 2-32. pyrrolidin-1-yl-ethoxy, optionally substituted pyrrolidin-2-yl-methoxy, optionally substituted piperidin-4-yloxy, optionally substituted azetidin-3-yl-methoxy, aminoethoxy, methylaminoethoxy or dimethylaminoethoxy.

- (Original) The compound of claim 25, wherein p is 2 and R⁵ and R⁶ are 33. hydrogen.
- (Original) The compound of claim 33, wherein R⁷ and R⁸ together form a five- or 34. six-membered heterocyclic ring.

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- (Original) The compound of claim 33, wherein one of R7 and R8 is hydrogen and 35. the other is alkyl.
- (Original) The compound of claim 33, wherein R⁷ and R⁸ are alkyl. 36.
- (Original) The compound of claim 25, wherein p is 1, R⁵ and R⁷ are hydrogen, 37. and R⁶ and R⁸ together form a five- or six-membered heterocyclic ring.
- (Original) The compound of claim 3, wherein R⁴ is at the 4- position of the 38. indole ring system.
- (Original) The compound of claim 38, wherein R² is optionally substituted 39. phenyl.
- (Original) The compound of claim 38, wherein m is 0. 40.
- (Original) The compound of claim 38, wherein n is 2. 41.
- 42. (Original) The compound of claim 38, wherein n is 0.
- (Original) The compound of claim 38, wherein R² is 2-halophenyl, 3-halopheny, 43. 4-halophenyl, 2,3-dihalophenyl, 2,4-dihalophenyl, 3,4-dihalophenyl, 2,5-dihalophenyl, 3.5-dihalophenyl, 2-alkoxyphenyl, 3-alkoxyphenyl, 4-alkoxyphenyl, 2,3-dialkoxyphenyl, 2,4-dialkoxyphenyl, 3,4-dialkoxyphenyl, 3,5-dialkoxyphenyl, or 2,5-dialkoxyphenyl.

- 44. (Original) The compound of claim 38, wherein R² is 4-chlorophenyl, 2,3-dichlorophenyl, 2-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, or 2-methoxyphenyl.
- 45. (Original) The compound of claim 38, wherein R⁴ is optionally substituted 2-pyrrolidin-1-yl-ethoxy, optionally substituted pyrrolidin-2-methoxy, optionally substituted piperidin-4-yloxy, optionally substituted azetidin-3-yl-methoxy, aminoethoxy, methylaminoethoxy or dimethylaminoethoxy.
- 46. (Original) The compound of claim 1, wherein said compound is of the formula IV:

$$\begin{array}{c|c}
(R^1)_m & O \\
\vdots & O \\
R^8 & R^6 & R^3
\end{array}$$

$$\begin{array}{c|c}
R^9)_q \\
R^7 & R^5
\end{array}$$

$$\begin{array}{c|c}
R^9 & O \\
R^3 & O \\
R^7 & R^5
\end{array}$$

$$\begin{array}{c|c}
IV$$

wherein:

m, p, R¹, R³, R⁵, R⁶, R⁷ and R⁸ are as defined in claim 1; q is from 0 to 4; and each R⁹ is independently hydrogen, halo, alkyl, haloalkyl or alkoxy.

47. (Original) The compound of claim 1, wherein said compound is of the formula VI:

wherein:

m, p, R^1 , R^3 , R^5 , R^6 , R^7 and R^8 are as defined in claim 1;

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q is from 0 to 4; and each R⁹ is independently hydrogen, halo, alkyl, baloalkyl or alkoxy.

(Original) The compound of claim 1, wherein said compound is selected 48.

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from:

- 3-Phenylsulfanyl-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-Benzencsulfonyl-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(3-Chloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)- 1H-indole;
- 3-(4-Chloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(2.3-Dichloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(2-Chloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(3,4-Dichloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(2-Fluoro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indolc;
- 3-(3-Fluoro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1*H*-indole;
- 3-(3-Methoxy-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-ethoxy)-1H-indole;
- 3-(2-Methoxy-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-cthoxy)-1H-indole;
- 2-(3-Benzenesulfonyl-1*H*-indol-7-yloxy)-cthyl]-dimethyl-amine;
- {2-[3-(2-Methoxy-benzenesulfonyl)-1H-indol-7-yloxy]-ethyl}-dimethyl-amine;
- {2-[3-(2-Fluoro-benzencsulfonyl)-1*H*-indol-7-yloxy]-ethyl}-dimethyl-amine;
- 2-[3-(2-Fluoro-benzenesulfonyl)-1*H*-indol-7-yloxy{]-ethyl}-methyl-aminc;
- [2-(3-Benzenesulfonyl-1*H*-indol-7-yloxy)-ethyl]-mcthyl-amine;
- 2-(3-Benzenesulfonyl-1-mcthyl-1*H*-indol-7-yloxy)-ethyl]-methyl- aminc;
- (S)-3-(2-Fluoro-benzenesulfonyl)-7-(pyrrolidin-2-ylmcthoxy)-1*H*-indole;
- 3-Benzenesulfonyl-7-(piperidin-4-yloxy)-1*H*-indole;
- [2-(2-Benzenesulfonyl-1*H*-indol-4-yloxy)-cthyl]-methyl-amine;
- 2-(2-Benzenesulfonyl-1*H*-indol-7-yloxy)-ethyl]-mcthyl-amine;
- 3-(2,5-Dichloro-benzenesulfonyl)-7-(2-pyrrolidin-1-yl-cthoxy)-1H-indole;
- 2-Benzenesulfonyl-4-(2-pyrrolidin-1-yl-ethoxy)-1H-indolc;
- 4-(Azetidin-3-ylmethoxy)-2-benzenesulfonyl-1H-indole; and
- 2-[3-(2-Fluoro-benzenesulfonyl)-1H-indol-7-yloxy]-ethylamine.

- 49. (Original) A pharmaceutical composition comprising an effective amount of the compound of claim 1 in admixture with a pharmaceutically acceptable carrier.
- 50. (Withdrawn) A method for treating a central nervous system disease state in a human subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.
- 51. (Withdrawn) The method of Claim 50, wherein the disease state is selected from psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease.
- 52. (Withdrawn) A method for treating a disorder of the gastrointestinal tract in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.
- 53. (Withdrawn) A method for producing a substituted indole, comprising:
 - (a) contacting an indole compound of the formula:

wherein:

m is from 0 to 3;

each R^1 is independently hydrogen, halo, alkyl, haloalkyl, hydroxy, heteroalkyl, nitro, alkoxy, cyano, $-NR^aR^b$, $-S(O)_s-R^a$, $-C(=O)-NR^aR^b$, $-SO_2-NR^aR^b$, $-N(R^a)-C(=O)-R^b$, or $-C(=O)-R^a$, where each of R^a and R^b is independently hydrogen or alkyl;

R³ is hydrogen or alkyl; and:

R⁴ is of the formula:

$$R^{\frac{8}{6}} \stackrel{N}{\underset{\stackrel{}{\longrightarrow} 7}{\bigvee}} R^{\frac{6}{5}}$$

wherein:

p is from 0 to 3; and

R⁵, R⁶, R⁷ and R⁸ each independently is hydrogen or alkyl, or one of R⁵ and R⁶ together with one of R⁷ and R⁸ form a heterocyclic ring of 4 to 7 members, or R⁷ and R⁸ together form a heterocyclic ring of 4 to 7 members;

with a disulfide of the formula R²-S-S-R² wherein R² is anyl or heteroaryl, to produce a sulfanylated indole compound of the formula:

(b) optionally oxidizing the sulfanylated indole <u>b</u> to produce a substituted indole of the formula:

wherein n is 1 or 2.